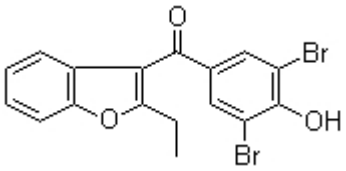
Product Introduction

Benzbromarone

Benzbromarone is a **CYP2C9** inhibitor, it binds to CYP2C9 with K_I value of 19.3 nM.

Technical Data:

Molecular Weight (MW):	424.08	
Formula:	$C_{17}H_{12}Br_2O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 85 mg/mL	
	Water <1 mg/mL	
	Ethanol 9 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	3562-84-3	

Biological Activity

Benzbromarone (20 μM) decreases mitochondrial membrane potential by 81% in isolated rat hepatocytes. Benzbromarone decreases state 3 oxidation and respiratory control ratios for L-glutamate with IC50 < 1 μM in isolated rat liver mitochondria. Benzbromarone (50 μM) uncouples oxidative phosphorylation and increases oxygen consumption by hepatocytes starting at 10 μM in isolated rat hepatocytes. Benzbromarone also inhibits the formation of acid-soluble β-oxidation products in a dose-dependent manner with IC50 of 2 μM. Benzbromarone (100 μM) inhibits the electron transport chain and are uncouplers of oxidative phosphorylation in isolated rat liver mitochondria. Benzbromarone (1 μM) leads to concentration-dependent increasion of ROS production in HepG2 cells. Benzbromarone (100 μM) leads to

a significant increase in mitochondrial size of isolated rat liver mitochondria. Benzbromarone is associated with leakage of cytochrome c into the cytoplasm of HepG2 cells. Benzbromarone (100 μM) results in the proportion of apoptotic cells of 11% in rat hepatocytes. [2] Benzbromarone significantly reduces the oxypurinol uptake at a concentration as low as 10 nM and completely blocks it at 1 μM. Benzbromarone (1 μM) uptakes the typical substrate of OCTN1 (tetraethylammonium) and OCTN2 (carnitine) in the HEK293 cells expressed with human OCTN1 by 96.7% and 111% of control, respectively. [3] Benzbromarone completely inhibits urate uptake at 50 μM in URAT1-expressing oocytes, with IC50 of less than 0.1 μM. [4] Benzbromarone activates through sequential hydroxylation of the benzofuran ring to a catechol, which can then be further oxidized to a reactive quinone intermediate capable of adducting protein. [5]

References

[1] Locuson CW 2nd, et al. Drug Metab Dispos, 2003, 31(7), 967-971.

[2] Kaufmann P, et al. Hepatology, 2005, 41(4), 925-935.

[3] Iwanaga T, et al. Drug Metab Dispos, 2005, 33(12), 1791-1795.

[4] Enomoto A, et al. Nature, 2002, 417(6887), 447-452.

[5] McDonald MG, et al. Chem Res Toxicol, 2007, 20(12), 1833-18342.